

10/566,585

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PASSWORD:

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FILE 'REGISTRY' ENTERED AT 17:06:48 ON 21 JUN 2008
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.38	224.51
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.40

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.38	224.51
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-6.40

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STRUCTURE FILE UPDATES: 20 JUN 2008 HIGHEST RN 1029712-63-7
DICTIONARY FILE UPDATES: 20 JUN 2008 HIGHEST RN 1029712-63-7

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=>

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L5 STRUCTURE UPLOADED

=> s 15

SAMPLE SEARCH INITIATED 17:07:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1130 TO ITERATE

100.0% PROCESSED 1130 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 20584 TO 24616

McIntosh

10/566,585

PROJECTED ANSWERS: 1 TO 80

L6 1 SEA SSS SAM L5

=> s 15 full

FULL SEARCH INITIATED 17:07:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 22707 TO ITERATE

100.0% PROCESSED 22707 ITERATIONS

22 ANSWERS

SEARCH TIME: 00.00.01

L7 22 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

402.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CAS SUBSCRIBER PRICE

0.00

-6.40

FILE 'CAPLUS' ENTERED AT 17:07:33 ON 21 JUN 2008

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FILE COVERS 1907 - 21 Jun 2008 VOL 148 ISS 26

FILE LAST UPDATED: 20 Jun 2008 (20080620/ED)

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<http://www.cas.org/legal/infopolicy.html>

=> s 17

L8 14 L7

=> d bib abs hitstr 1-14 18

L8 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:912445 CAPLUS

DN 145:285165

TI Pharmaceutical compositions containing N-glucoside compounds

IN Nomura, Sumihiro; Sakamoto, Toshiaki; Ueda, Kiichiro

PA Tanabe Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 30pp.

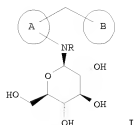
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2006232825	A	20060907	JP 2006-19935	20060130
PRAI JP 2005-23727	A	20050131		
OS MARPAT 145:285165				
GI				



AB The invention relates to a pharmaceutical composition characterized by containing a compound I (ring A and B are (un)substituted monocycle unsatd. hetero rings, etc.; R = H, lower alkyl, lower alkonoyl, lower alkoxy carbonyl) or its salt or prodrug as an active component, suitable for use for treatment and/or prevention of diabetes or obesity. For example, 2-(4-ethylbenzyl)-N-(β -D-glucopyranosyl)aniline was prepared, and examined for its inhibitory effect on SGLT 2 (sodium-dependent glucose transporter 2) in vitro.

IT 841236-78-OP 841236-79-1P 841236-80-4P

841236-81-5P 841236-82-6P

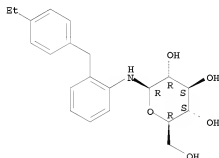
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. containing N-glucoside compds. for treatment of diabetes, obesity, and related diseases)

RN 841236-78-0 CAPLUS

CN β -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]phenyl]- (CA INDEX NAME)

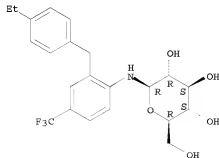
Absolute stereochemistry.



RN 841236-79-1 CAPLUS

CN β -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

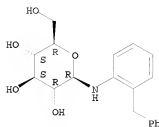


McIntosh

RN 841236-80-4 CAPLUS

CN β -D-Glucopyranosylamine, N-[2-(phenylmethyl)phenyl]- (CA INDEX NAME)

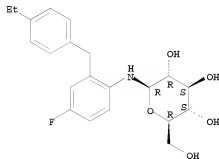
Absolute stereochemistry.



RN 841236-81-5 CAPLUS

CN β -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-fluorophenyl]- (CA INDEX NAME)

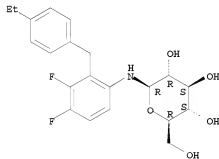
Absolute stereochemistry.



RN 841236-82-6 CAPLUS

CN β -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-3,4-difluorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:620496 CAPLUS

DN 146:402193

TI Synthesis and hydrolysis of N,N'-di-D-glucopyranosyldiaminodiphenylmethane

AU Yang, Deming; Fang, Zhijie

CS School of Chemical Engineering, Nanjing University of Science + Technology, Nanjing, 210094, Peop. Rep. China

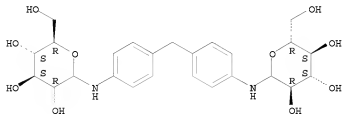
SO Huaxue Yanjiu Yu Yingyong (2005), 17(3), 414-416

CODEN: HYIIFM; ISSN: 1004-1656

PB Huaxue Yanjiu Yu Yingyong Bianjibu

DT Journal
 LA Chinese
 OS CASREACT 146:402193
 AB N,N'-Di-D-glucopyranosyldiaminodiphenylmethane [i.e., N,N'-[(methylene)di-4,1-phenylene]-D-glucopyranosylamine] was prepared by the condensation reaction of D-glucose with 4,4'-diaminodiphenylmethane (at a molar ratio of 1:1) in anhydrous methanol under reflux for 25 h in a yield of 53.3% and purity of 99.4%. Its structure was characterized by elemental anal., IR, and NMR spectroscopy. The research of the hydrolysis of the product showed the condensation reaction was at equilibrium. The influence of time and the 4,4'-diaminodiphenylmethane concentration in water on the hydrolysis was also researched.
 IT 30796-64-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of N,N'-[(methylene)phenylene]-D-glucopyranosylamine and study of its hydrolysis reaction)
 RN 30796-64-6 CAPLUS
 CN D-Glucopyranosylamine, N,N'-[(methylene)di-4,1-phenylene]bis- (CA INDEX NAME)

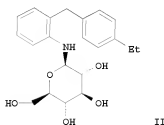
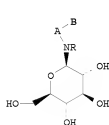
Absolute stereochemistry.



L8 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STN
 AN 2005:120945 CAPLUS
 DN 142:219494
 TI Preparation of aryl-aminodeoxy monosaccharides as antidiabetic agents
 IN Nomura, Sumihiro; Sakamoto, Toshiaki; Ueta, Kiichiro
 PA Tanabe Seiyaku Co., Ltd., Japan
 SO PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005012321	A1	20050210	WO 2004-JP11311	20040730
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BH, BH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004260760	A1	20050210	AU 2004-260760	20040730
CA 2534022	A1	20050210	CA 2004-2534022	20040730
EP 1654269	A1	20060510	EP 2004-771313	20040730
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
CN 1829728	A	20060906	CN 2004-80022006	20040730
BR 2004013233	A	20061003	BR 2004-13233	20040730
JP 2007518682	T	20070712	JP 2006-519250	20040730
NO 2006000219	A	20060428	NO 2006-219	20060116
MX 2006PA01273	A	20060411	MX 2006-PA1273	20060131
IN 2006CN00725	A	20070629	IN 2006-CN725	20060228
US 20060217323	A1	20060928	US 2006-446014	20060602

	US 20060229260	A1	20061012	US 2006-453728	20060615
	US 20060234954	A1	20061019	US 2006-453727	20060615
	US 20060293251	A1	20061228	US 2006-453726	20060615
	US 20070060545	A1	20070315	US 2006-566585	20060728
	AU 2008200240	A1	20080207	AU 2008-200240	20080117
PRAI	US 2003-491523P	P	20030801		
	US 2003-491534P	P	20030801		
	US 2003-519155P	P	20031112		
	US 2003-519209P	P	20031112		
	US 2003-519210P	P	20031112		
	US 2003-519381P	P	20031112		
	US 2004-579722P	P	20040615		
	US 2004-579730P	P	20040615		
	US 2004-579759P	P	20040615		
	US 2004-579792P	P	20040615		
	AU 2004-260761	A3	20040730		
	US 2004-903034	A3	20040730		
	US 2004-903136	A3	20040730		
OS GI	US 2004-903233	A3	20040730		
	US 2004-903234	A3	20040730		
	WO 2004-JP11311	W	20040730		
	CASREACT 142:219494;		MARPAT 142:219494		



AB Aryl-aminodeoxy monosaccharides I, wherein A and B are (1) A is an optionally substituted unsatd. monocyclic heterocyclic, and B is an optionally substituted unsatd. monocyclic heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene, (2) A is an optionally substituted benzene, and B is an optionally substituted unsatd. monocyclic heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene, or (3) A is an optionally substituted unsatd. fused hetero-bicyclic, wherein -NR- group and -CH₂- group are both on the same of the unsatd. fused hetero-bicyclic, and B is an optionally substituted monocyclic unsatd. heterocyclic, an optionally substituted unsatd. fused hetero-bicyclic, or an optionally substituted benzene; and R is a hydrogen atom, a lower alkyl group, a lower alkanoyl group or a lower alkoxy-carbonyl group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof. A method is claimed for treatment of type 1 and 2 diabetes mellitus, which comprises administering to a mammalian species in need of treatment a therapeutically effective amount of the compound, or in combination with another antidiabetic agent, an agent for treating diabetic complications, an anti-obesity agent, an antihypertensive agent, an antiplatelet agent, an anti-atherosclerotic agent and/or a hypolipidemic agent. Thus, title II was prepared and tested as an antidiabetic agent. The dosage of the present compd.s or a pharmaceutically acceptable salt thereof may vary according to the administration routes, ages, body weight, conditions of a patient, or kinds and severity of a disease to be treated, and it is usually in the range of about 0.1 to 50 mg/kg/day, preferably in the range of about 0.1 to 30 mg/kg/day.

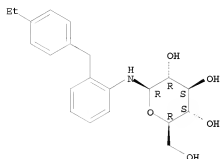
IT 841236-78-0P 841236-79-1P 841236-80-4P
841236-81-5P 841236-82-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl-aminodeoxy monosaccharides as antidiabetic agents)

RN 841236-78-0 CAPLUS

10/566,585

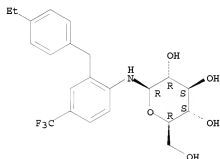
CN β -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



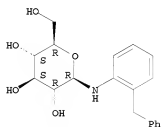
RN 841236-79-1 CAPLUS
CN β -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



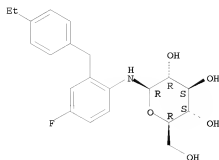
RN 841236-80-4 CAPLUS
CN β -D-Glucopyranosylamine, N-[2-(phenylmethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 841236-81-5 CAPLUS
CN β -D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-4-fluorophenyl]- (CA INDEX NAME)

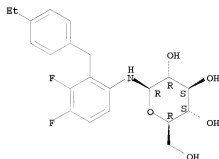
Absolute stereochemistry.



RN 841236-82-6 CAPLUS

CN β-D-Glucopyranosylamine, N-[2-[(4-ethylphenyl)methyl]-3,4-difluorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STN

AN 2003:521351 CAPLUS

DN 139:239669

TI Synthesis and activity of novel benzoxazole derivatives of mannopeptimycin glycopeptide antibiotics

AU Sum, Phaik-Eng; How, David; Torres, Nancy; Newman, Howard; Petersen, Peter J.; Mansour, Tarek S.

CS Chemical Sciences, Wyeth Research, Pearl River, NY, 10965, USA

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(15), 2607-2610

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 139:239669

AB A series of benzoxazole derivs. of the mannopeptimycin glycopeptide antibiotics was synthesized via a novel benzoxazole formation reaction by treating aminophenol of mannopeptimycin-β with an aldehyde and DDQ in DMF. Some of these derivs. showed good activity against Gram-(+) bacteria when compared to the parent compound mannopeptimycin-β.

IT 596818-67-6P

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

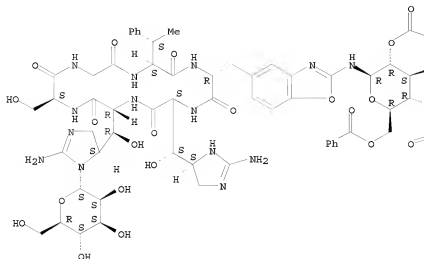
(synthesis and activity of novel benzoxazole derivs. of mannopeptimycin glycopeptide antibiotics)

RN 596818-67-6 CAPLUS

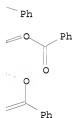
CN Cyclo[3-[2-[(2,3,4,6-tetra-O-benzoyl-β-D-glucopyranosyl)amino]-5-mannopyranosyl]-D-alanyl-(3S)-3-[(4S)-2-amino-4,5-dihydro-1H-imidazol-4-yl]-L-seryl-(3R)-3-[(5S)-2-amino-4,5-dihydro-1-α-D-mannopyranosyl-1H-imidazol-5-yl]-D-seryl-L-seryl]glycyl-(βS)-β-methyl-L-phenylalanyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

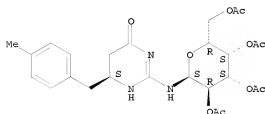
L# ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:137713 CAPLUS
DN 139:7095
TI Syntheses of guanidinoglycosides with the inventive use of Mitsunobu conditions and 1,8-diazabicyclo[5.4.0]undec-7-ene
AU Lin, Peishan; Heng, Sabrina Cher Hui; Sim, Mui Mui
CS Institute of Molecular and Cell Biology, Singapore, 117609, Singapore
SO Synthesis (2003), (2), 255-261
CODEN: SYNTBF, ISSN: 0039-7881
PB Georg Thieme Verlag
DT Journal
LA English
OS CASREACT 139:7095
AB A series of novel guanidinoglycosides was successfully synthesized. This was accomplished with the use of Mitsunobu conditions as a strategy to convert the glycopyranose anomeric hydroxy group to give the corresponding substituted masked guanidines in high yields. Subsequent deprotection and coupling with Fmoc protected β -amino acid, afforded a series of N,N'-substituted-methylisothioureas. Cleavage of Fmoc followed by concomitant cyclization was achieved with a catalytic amount of DBU to give the guanidinoglycosides.
IT 535952-67-1P 535952-69-3P 535952-71-7P
RL: SPN (Synthetic preparation); PREP (Preparation)

(syntheses of guanidinoglycosides with inventive use of Mitsunobu conditions and diazabicycloundecene)

RN 535952-67-1 CAPLUS

CN 4(1H)-Pyrimidinone, 5,6-dihydro-6-[(4-methylphenyl)methyl]-2-[(2,3,4,6-tetra-O-acetyl- α -D-galactopyranosyl)amino]-, (6S)- (9CI) (CA INDEX NAME)

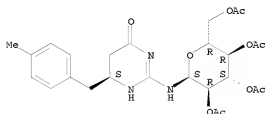
Absolute stereochemistry.



RN 535952-69-3 CAPLUS

CN 4(1H)-Pyrimidinone, 5,6-dihydro-6-[(4-methylphenyl)methyl]-2-[(2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl)amino]-, (6S)- (9CI) (CA INDEX NAME)

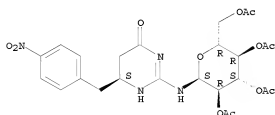
Absolute stereochemistry.



RN 535952-71-7 CAPLUS

CN 4(1H)-Pyrimidinone, 5,6-dihydro-6-[(4-nitrophenyl)methyl]-2-[(2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl)amino]-, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:832983 CAPLUS

DN 137:336791

TI Preparation of glycopeptide antibiotics

IN Akbanat, Darren Robert; Bailey, Arthur Emery; Bernan, Valerie Sue;

Greenstein, Michael; Lotvin, Jason Arnold; Ruppen, Mark Edward;

Sutherland, Alan Gordon; He, Haiyin

PA American Cyanamid Company, USA

SO PCT Int. Appl., 515 pp.

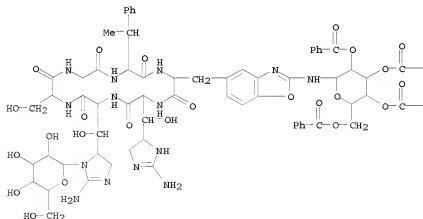
CODEN: P1XXD2

DT Patent

LA English
FAN. CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002086141	A1	20021031	WO 2002-US13108	20020425
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GR, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GN, GT, ML, MR, NE, SN, TD, TG				
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	AU 2002307567	A1	20021105	AU 2002-307567	20020425
	US 20030054508	A1	20030320	US 2002-132012	20020425
	US 6713448	B2	20040330		
	US 20030087812	A1	20030508	US 2002-131890	20020425
	US 6914045	B2	20050705		
	US 20030092610	A1	20030515	US 2002-131847	20020425
	US 6964860	B2	20051115		
	EP 1390521	A1	20040225	EP 2002-764346	20020425
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	MX 2003PA09803	A	20040129	MX 2003-PA9803	20031024
	US 20040158035	A1	20040812	US 2004-771652	20040204
	US 7183253	B2	20070227		
	US 20050288221	A1	20051229	US 2005-116149	20050427
PRAI	US 2001-286396P	P	20010425		
	US 2001-286244P	P	20010425		
	US 2001-286249P	P	20010425		
	US 2002-131847	A3	20020425		
	US 2002-132012	A3	20020425		
	WO 2002-US13108	W	20020425		
OS	MARFAT 137:336791				
AB	The invention provides glycopeptide antibiotics and their derivs. prepared by fermentation of Streptomyces hygroscopicus strains and modified by organic transformation, biochem. transformation and biotransformation. These compds. are useful as antibiotic agents against gram pos. and neg. bacteria.				
IT	474326-34-6P				
	RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BLOI (Biological study); PREP (Preparation)				
	(preparation of glycopeptide antibiotics)				
RN	474326-34-6 CAPLUS				
CN	Cyclo[3-[2-[(2,3,4,6-tetra-O-benzoylhexopyranosyl)amino]-5-benzoxazolyl]alanyl-3-(2-amino-4,5-dihydro-1H-imidazol-4-yl)seryl-3-(2-amino-1-hexopyranosyl-4,5-dihydro-1H-imidazol-5-yl)serylserglycyl-β-methylphenylalanyl] (9CI) (CA INDEX NAME)				

PAGE 1-A



— Ph

— Ph

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:832574 CAPLUS

DN 137:338136

TI Preparation of glycopeptide antibiotics

IN Abbanat, Darren Robert; Berman, Valerie Sue; Dushin, Russell George;
Greenstein, Michael; He, Haiyin; Lang, Stanley Albert; Newman, Howard;
Sakya, Subas; Sum, Phaik-Eng; Sutherland, Alan Gordon; Wang, Ting-Zhong;
Ruppen, Mark Edward; Bailey, Arthur Emery; Chi, Ping; Shen, Bo; Kong,
Fangming; Lotvin, Jason Arnold

PA American Cyanamid Company, USA

SO FCT Int. Appl., 548 pp.

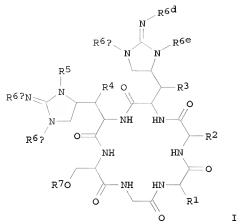
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

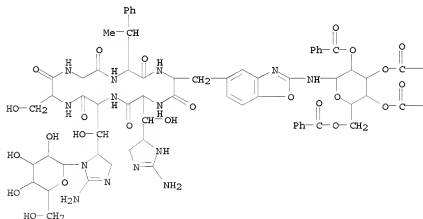
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085307	A2	20021031	WO 2002-US13120	20020425
WO 2002085307	A3	20030925		
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CE, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HP, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW:				
GH, GM, KE, LE, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2444673	A1	20021031	CA 2002-2444673	20020425
AU 2002303480	A1	20021105	AU 2002-303480	20020425
US 20030054508	A1	20030320	US 2002-132012	20020425
US 6713448	B2	20040330		
US 20030087812	A1	20030508	US 2002-131890	20020425
US 6914045	B2	20050705		
US 20030092610	A1	20030515	US 2002-131847	20020425
US 6964860	B2	20051115		
EP 1390056	A2	20040225	EP 2002-731505	20020425
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
MX 2003PA09802	A	20050307	MX 2003-PA9802	20031024
US 20040158035	A1	20040812	US 2004-771652	20040204
US 7183253	B2	20070227		
US 20050288221	A1	20051229	US 2005-116149	20050427
PRAI US 2001-286244P	P	20010425		
US 2001-286249P	P	20010425		
US 2001-286396P	P	20010425		
US 2002-131847	A3	20020425		
US 2002-132012	A3	20020425		
WO 2002-US13120	W	20020425		
OS MARPAT 137:338136				
GI				



I

- AB Glycopeptide antibiotics I [R1 = 1-phenylethyl, 1-(halophenyl)ethyl, benzyl, 1-(2-thienyl)ethyl, 1-cyclohexylethyl, cyclohexylmethyl, phenyl, R2 = CH2C6H2R2b(OR2a)R2c-3,4,5 (R2a, R2b, R2c = H, (cyclo)alkyl, etc.), 4-R2aO-substituted cyclohexylmethyl, cyclohexylmethyl, 2-substituted 5-benzoxazolyl or 5-benzofuranyl; R3, R4 = H, OH, a silyl or acyl group; R5, R6a-R6e = H, (cyclo)alkyl, alkenyl, alkynyl, acyl, 2- or 4-nitrophenyl, certain heterocyclic groups; R7 = H, (cyclo)alkyl, alkenyl, alkynyl, a silyl or acyl group (with provisos)] or their pharmaceutically-acceptable salts were prepared and assayed for biol. activity. Thus, cyclo[3-cyclohexyl-2-aminobutan-1-yl-(4-O-hexopyranosylhexopyranosyl)tyrosyl-3-(2-iminoimidazolidin-4-yl)seryl-3-(3-hexopyranosyl-2-iminoimidazolidin-4-yl)seryl] (claimed compound) was prepared and showed MIC = 32 and 4 µg/mL for inhibition of *Staphylococcus aureus* (GC 1131) and Coagulase Neg. *Staphylococcus* (GC 4549), resp.
- IT 474326-34-6P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (Preparation of glycopeptide antibiotics)
- RN 474326-34-6 CAPLUS
- CN Cyclo[3-[2-[(2,3,4,6-tetra-O-benzoylhexopyranosyl)amino]-5-benzoxazolyl]alanyl-3-(2-amino-4,5-dihydro-1H-imidazol-4-yl)seryl-3-(2-amino-1-hexopyranosyl-4,5-dihydro-1H-imidazol-5-yl)seryl]seryl-β-methylphenylalanyl] (9CI) (CA INDEX NAME)

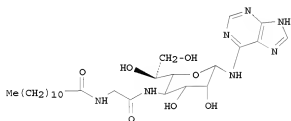
PAGE 1-A



— Ph

— Ph

L8 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2002:259510 CAPLUS
 DN 137:20536
 TI Total Synthesis of Spicamycin
 AU Suzuki, Tamotsu; Suzuki, Sayaka T.; Yamada, Iwao; Koashi, Yoshiaki;
 Yamada, Kazuo; Chida, Noritaka
 CS Department of Applied Chemistry Faculty of Science and Technology, Keio
 University, Hiyoshi, Kohoku-ku, Yokohama 223-8522, Japan
 SO Journal of Organic Chemistry (2002), 67(9), 2874-2880
 CODEN: JOCEAH; ISSN: 0022-3263
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 137:20536
 GI



AB The first total synthesis of one of the spicamycin congeners, SPM VIII I, is described. A preliminary model study for construction of the characteristic N-glycoside linkage in spicamycin using tetra-O-benzyl-β-D-mannopyranosylamine and halopurines revealed that Pd-catalyzed conditions. It was also shown that thermal anomerization of the N-glycosides easily occurred, which resulted in the predominant formation of the β-anomer as the thermodynamically favored compound, and the activation energy of anomerization of 15 was estimated to be ca. 30 kcal/mol. The novel aminoheptose unit of spicamycin was prepared stereoselectively by carbon elongation of an acyclic aldehyde, prepared by ring cleavage reaction of a highly functionalized cyclohexane derived from naturally abundant myo-inositol. The Pd-catalyzed coupling reaction of the β-heptopyranosylamine with protected 6-chloropurine, followed by deprotection, provided spicamycin amino nucleoside, whose condensation with dodecanoylglycine completed the total synthesis of I. This study confirmed the proposed unique structure of a novel nucleoside antibiotic.

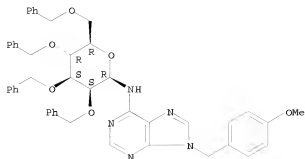
IT 222296-26-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (total synthesis of spicamycin via Pd-catalyzed coupling, condensation,
 and thermal anomerization reactions)

RN 222296-26-6 CAPLUS

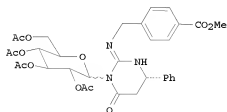
CN β-D-Mannopyranosylamine, N-[9-[(4-methoxyphenyl)methyl]-9H-purin-6-yl]-2,3,4,6-tetrakis-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

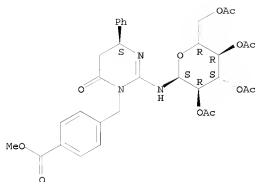
L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STN
AN 2001:809683 CAPLUS
DN 136:70032
TI Synthesis of Novel Guanidinoglycoside: 2-Glycosylamino-4,5-dihydro-6-pyrimidinone
AU Lin, Peishan; Lee, Cheng Leng; Sim, Mui Mui
CS Institute of Molecular and Cell Biology, Singapore, 117609, Singapore
SO Journal of Organic Chemistry (2001), 66(24), 8243-8247
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 136:70032
GI



I

AB 2-Glycosylamino-4,5-dihydro-6-pyrimidinones, e.g. I, were prepared from β -glycosyl isothiocyanate via condensation with azides followed by cyclocondensation with amino acid Me esters.
IT 385433-15-8P 385433-17-OP 385433-31-8P
385433-32-9P 385433-33-OP 385433-34-1P
385433-35-2P 385433-36-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis guanidino glycoside glycosylaminodihydropyrimidinone from β -glycosyl isothiocyanate via condensation with azides followed by cyclocondensation with amino acid Me esters)
RN 385433-15-8 CAPLUS
CN Benzoic acid, 4-[[[(4S)-tetrahydro-6-oxo-4-phenyl-2-[(2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl)imino]-1(2H)-pyrimidinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

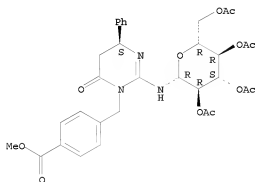
Absolute stereochemistry.



RN 385433-17-0 CAPLUS

CN Benzoic acid, 4-[[[(4S)-tetrahydro-6-oxo-4-phenyl-2-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)imino]-1(2H)-pyrimidinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

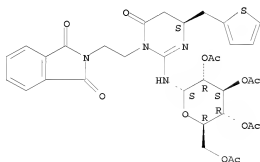
Absolute stereochemistry.



RN 385433-31-8 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[(4S)-5,6-dihydro-6-oxo-2-[(2,3,4,6-tetra-O-acetyl-α-D-glucopyranosyl)amino]-4-(2-thienylmethyl)-1(4H)-pyrimidinyl]ethyl]- (CA INDEX NAME)

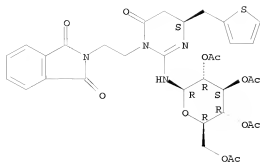
Absolute stereochemistry.



RN 385433-32-9 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[(4S)-5,6-dihydro-6-oxo-2-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]-4-(2-thienylmethyl)-1(4H)-pyrimidinyl]ethyl]- (CA INDEX NAME)

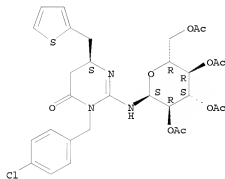
Absolute stereochemistry.



RN 385433-33-0 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[(4-chlorophenyl)methyl]-5,6-dihydro-2-[(2,3,4,6-tetra-O-acetyl-α-D-glucopyranosyl)amino]-6-(2-thienylmethyl)-, (6S)- (CA INDEX NAME)

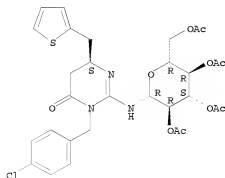
Absolute stereochemistry.



RN 385433-34-1 CAPLUS

CN 4(3H)-Pyrimidinone, 3-[(4-chlorophenyl)methyl]-5,6-dihydro-2-[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]-6-(2-thienylmethyl)-, (6S)- (CA INDEX NAME)

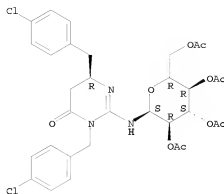
Absolute stereochemistry.



RN 385433-35-2 CAPLUS

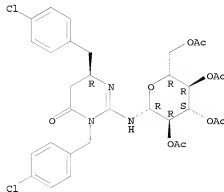
CN 4(3H)-Pyrimidinone, 3,6-bis[(4-chlorophenyl)methyl]-5,6-dihydro-2-[(2,3,4,6-tetra-O-acetyl-α-D-glucopyranosyl)amino]-, (6R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 385433-36-3 CAPLUS
 CN 4(3H)-Pyrimidinone, 3,6-bis[(4-chlorophenyl)methyl]-5,6-dihydro-2-
 [(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]-, (6R)- (CA INDEX
 NAME)

Absolute stereochemistry.

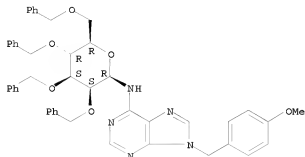


RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STM
 AN 1999:199467 CAPLUS
 DN 130:267672
 TI Pd-catalyzed coupling reaction of glycosylamines with 6-chloropurines:
 synthesis of 6-(β-D-mannopyranosylamino)-9H-purine and its
 β-D-glucoside isomer, N-glycoside models for spicamycin and septacidin
 AU Chida, Noritaka; Suzuki, Tamotsu; Tanaka, Sayaka; Yamada, Iwao
 CS Department of Applied Chemistry, Faculty of Science and Technology, Keio
 University, Yokohama, 223-8522, Japan
 SO Tetrahedron Letters (1999), 40(13), 2573-2576
 CODEN: TETLEA; ISSN: 0040-4039
 PE Elsevier Science Ltd.
 DT Journal
 LA English
 AB The first example of preparation of 6-(β-D-mannopyranosylamino)-9H-purine,
 whose N-glycosidic linkage corresponds to a natural antibiotic,
 spicamycin, by Pd-catalyzed coupling reaction of a mannopyranosylamine
 with 9-protected-6-chloropurine, followed by deprotection, is described.
 Its β-D-glucoside isomer was also synthesized. This work established the
 procedure to construct the novel N-glycoside, in which the pyranose unit
 is connected to the amino group at C(6) of adenine moiety.
 IT 222296-26-6P
 RI: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of (β-D-mannopyranosylamino)purine and its β-D-glucoside
 isomer via Pd-catalyzed coupling reaction of glycosylamines with

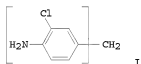
chloropurines)
 RN 222296-26-6 CAPLUS
 CN β -D-Mannopyranosylamine, N-[9-[(4-methoxyphenyl)methyl]-9H-purin-6-yl]-2,3,4,6-tetrakis-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 1986:220261 CAPLUS
 DN 104:220261
 OREF 104:34813a,34816a
 TI Metabolism of 4,4'-methylenebis(2-chloroaniline) by canine liver and kidney slices
 AU Manis, Melanie O.; Braselton, W. Emmett, Jr.
 CS Dep. Pharmacol. Toxicol., Michigan State Univ., Ann Arbor, MI, 48109, USA
 SO Drug Metabolism and Disposition (1986), 14(2), 166-74
 CODEN: DMDSDI; ISSN: 0090-9556
 DT Journal
 LA English
 GI

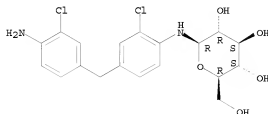


AB 4,4'-Methylenebis(2-chloroaniline) (MBOCA) (I) [101-14-4] metabolism in canine liver and kidney slices was investigated using HPLC to sep. the metabolites. Liver slices metabolized 5-10% of the [14 C]MBOCA in 60 min and produced 7 metabolites resolved by HPLC. The major metabolite, representing approx. 80% of the metabolism, was 2-amino-5-[(4-amino-3-chlorophenyl)methyl]-3-chlorophenyl H sulfate [102411-04-1], previously identified as the major urinary metabolite in dogs. An O-glucuronide [102411-06-3] was characterized as labile to β -glucuronidase, stable to arylsulfatase, and mild acid. It was formed in increased amts. when 2,6-dichloro-4-nitrophenol (DCNP) was added to the incubation. Two other glucuronide metabolites were labile to mild acid and β -glucuronidase, stable to arylsulfatase, and were formed in decreased amts. in the presence of D-(+)-galactosamine (D-gal) and p-nitrophenyl sulfate (PNPS). Renal cortical slices metabolized 3-5% of the [14 C]MBOCA in 90 min, producing 6 metabolites. Based on retention time and lability to hydrolysis, 3 of these, the MBOCA-glucoside, a glucuronide, and 2-amino-5-[(4-amino-3-chlorophenyl)methyl]-3-chlorophenyl H sulfate, were also found as kidney metabolites. One addnl. S-containing metabolite was labile to mild acid and arylsulfatase. The major kidney metabolite represented 25-40% of the metabolism and was unaffected by mild acid, β -glucuronidase, arylsulfatase, DCNP, and D-gal. Covalent binding in liver slices was 20-27 pmol/mg of wet weight/60 min and in kidney was 9-13 pmol/mg of wet weight/90 min. Binding was not altered in either tissue by

D-gal, PNPS, or low concns. of DCNP. Renal medullary slice incubations produced no [^{14}C]MBOCA metabolites observed by HPLC with UV absorbance or radioactivity monitoring. Tissue covalent binding was 1.2 pmol/mg/90 min and was unchanged by the addition of aspirin or indomethacin, but doubled with 1 mM arachidonic acid.

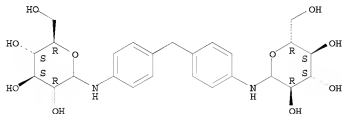
IT 102411-05-2
 RL: BIOL, (Biological study)
 (as methylenebis(chloroaniline) metabolite, in kidney and liver)
 RN 102411-05-2 CAPLUS
 CN β -D-Glucopyranosylamine, N-[4-[(4-amino-3-chlorophenyl)methyl]-2-chlorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STN
 AN 1966:38688 CAPLUS
 DN 64:38688
 OREF 64:7229b-c
 TI Chemotherapy of fascioliasis. IV. Action of aromatic amines against liver flukes. 2
 AU Laemmli, G.; Loewe, H.
 CS Farbwerke Hoechst A.-G., Frankfurt/M., Germany
 SO Arzneimittel-Forschung (1962), 12, 164-8
 From: CZ 1965(22), Abstr. 1680.
 CODEN: ARZNAD; ISSN: 0004-4172
 DT Journal
 LA German
 AB OF 209 aromatic and arylaliphatic mono- and bis-amino compds., 114 were chemotherapeutically effective on rabbits, sheep, and cattle infected with Fasciola hepatica. The partial occurrence of sight disturbances and blinding of treated sheep and cattle prohibited their use. Cf. ibid (1), 15-21; CA 51, 3839b.
 IT 30796-64-6
 (Derived from data in the 7th Collective Formula Index (1962-1966))
 RN 30796-64-6 CAPLUS
 CN D-Glucopyranosylamine, N,N'-(methylene-di-4,1-phenylene)bis- (CA INDEX NAME)

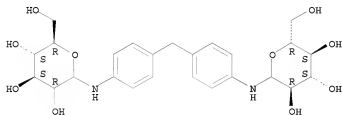
Absolute stereochemistry.



L8 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS ON STN
 AN 1966:38687 CAPLUS
 DN 64:38687
 OREF 64:7229a-b
 TI Observation of curare-like activity in the alkaloids from Delphinium rugulosom
 AU Mamedov, G. M.

SO Azerbaidzhanskii Meditsinskii Zhurnal (1965), 42(9), 31-4
 CODEN: AZMZA6; ISSN: 0005-2523
 DT Journal
 LA Azerbaidzhani
 AB cf. CA 63, 11922b. Two alkaloids with the empirical formula of C₁₉H₂₃NO₄ and C₂₁H₃₁NO₄ were isolated in 0.64% yield from the small wrinkled *D. rugulosom*. Pharmacol. investigation was performed with HBr and HI salts of the whole alkaloid extract and HCl salt of the individual alkaloids. The salts at 0.5-2.5 mg./kg., administered into a cat, manifested curate-like activity.
 IT 30796-64-6
 (Derived from data in the 7th Collective Formula Index (1962-1966))
 RN 30796-64-6 CAPLUS
 CN D-Glucopyranosylamine, N,N'-(methylenedi-4,1-phenylene)bis- (CA INDEX NAME)

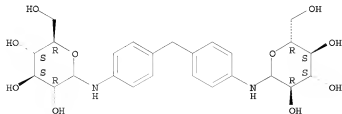
Absolute stereochemistry.



L8 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 1961:70587 CAPLUS
 DN 55:70587
 OREF 55:13385b-c
 TI Water-soluble, therapeutically active glucosides
 IN Ruschig, Heinrich; Loewe, Heinz; Lammner, Georg
 PA Farbwerke Hoechst AG
 DT Patent
 LA Unavailable
 FAN.CVT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1075626		19600218	DE	
<p>AB Comps., active against liver fluke disease in animals, are produced by the reaction of diaminodiphenyl compds. with mono- or oligosaccharides containing an aldehyde or ketone group, such as glucose, galactose, arabinose, fructose, sorbose, lactose, or substituted saccharides, in an organic solvent, such as aliphatic or cycloaliphatic alcs. or NO₂ compds. The reaction proceeds at normal or elevated temperature and can be accelerated by the addition of NH₄ or PH₄ ions. The products possess high activity; 75 mg./kg. bis(p,p'-glucosidaminophenyl)methane effects complete eradication of liver flukes in sheep.</p>				
IT 30796-64-6				
<p>(Derived from data in the 6th Collective Formula Index (1957-1961))</p>				
RN 30796-64-6				
CN D-Glucopyranosylamine, N,N'-(methylenedi-4,1-phenylene)bis-				(CA INDEX NAME)

Absolute stereochemistry.



10/566,585

IT 122596-75-2P, Galactosylamine, N,N'-(methylenedi-p-phenylene)-bis-
RL: PREP (Preparation)
(preparation of)
RN 122596-75-2 CAPLUS
CN Galactopyranosylamine, N,N'-(methylenedi-p-phenylene)bis-, D- (6CI) (CA
INDEX NAME)

Absolute stereochemistry.

